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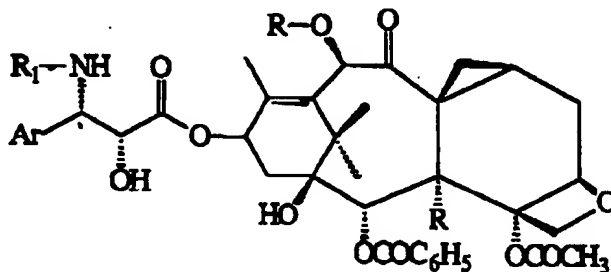
**PAT. & T.M. OFFICE  
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Applicants: Bouchard et al.  
Serial No.: 08/162,984  
Filed: December 8, 1993  
For: NEW TAXOIDS, THEIR  
PREPARATION AND  
PHARMACEUTICAL COMPOSITION  
CONTAINING THEM  
Accorded benefit: France 92 14813,  
filed December 9, 1992

Pursuant to the APJ's decision on motion in Interference No. 103,675, this interference is hereby redeclared by deleting count 1 and substituting count 4 for count

1. Count 4 reads as follows:

**[Bouchard]** A taxoid of the formula:



in which

R represents hydrogen or acetyl,

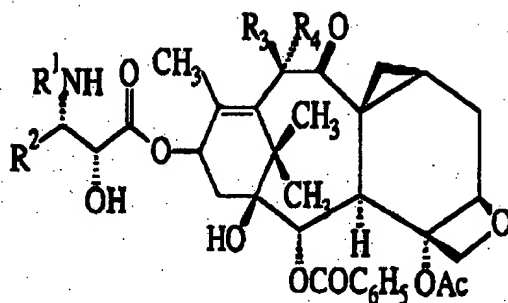
R<sub>1</sub> represents benzoyl or R<sub>2</sub>-O-CO- in which R<sub>2</sub> represents t-butyl, and

Ar represents phenyl or α- or β-naphthyl, said phenyl or naphthyl being unsubstituted or substituted by C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy, halogen, or CF<sub>3</sub>, or Ar represents 2- or 3-thienyl or 2- or 3-furyl, said thienyl or furyl being unsubstituted or substituted by halogen.

OR

1

[Chen] A compound of the formula



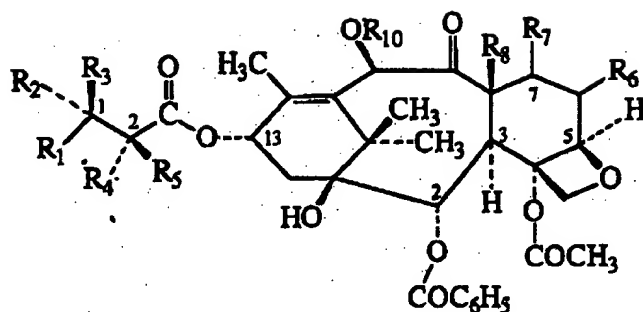
in which

$R^1$  or  $-COR^2$  in which  $R^2$  is t-butyloxy,  $C_{1-6}$  alkyl,  $C_{2-6}$  alkenyl,  $C_{2-6}$  alkynyl,  $C_{3-6}$  cycloalkyl, or phenyl, optionally substituted with one to three same or different  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, halogen or  $-CF_3$  groups;

$R^2$  is  $C_{1-6}$  alkyl,  $C_{1-6}$  alkenyl,  $C_{2-6}$  alkynyl,  $C_{3-6}$  cycloalkyl, or a radical of the formula  $-W-R^x$  in which  $W$  is a bond,  $C_{2-6}$  alkenediyl, or  $-(CH_2)_t-$ , in which  $t$  is one to six; and  $R^x$  is naphthyl, furyl, thienyl or phenyl, and furthermore  $R^x$  can be optionally substituted with one to three same or different  $C_{1-6}$  alkyl,  $C_{1-6}$  alkoxy, halogen or  $-CF_3$  groups; and

$R^3$  is  $OCOR$ ,  $-OCOOR$ ,  $H$ ,  $OH$ ;  $R^4$  is hydrogen; or  $R^3$  and  $R^4$  jointly form a carbonyl group; and  $R$  is  $C_{1-6}$  alkyl.

[Hester] A compound of the Formula 1:



I

wherein

$R_1$  is selected from the group consisting of

$-CH_3$ ,

$-C_6H_5$  or phenyl substituted with one, 2 or 3  $C_1-C_4$  alkyl,  $C_1-C_3$  alkoxy, halo,  $C_1-C_3$  alkylthio, trifluoromethyl,  $C_2-C_6$  dialkylamino, hydroxy or nitro, and

$-2\text{-furyl}$ ,  $2\text{-thienyl}$ ,  $1\text{-naphthyl}$ ,  $2\text{-naphthyl}$  or  $3,4\text{-methylenedioxyphenyl}$ ;

$R_2$  is selected from the group consisting of  $-H$ ,  $-NHC(O)H$ ,  $-NHC(O)C_1-C_{10}$  alkyl,

$-NHC(O)phenyl$ ,  $-NHC(O)phenyl$  substituted with one, 2 or 3  $C_1-C_4$  alkyl,  $C_1-C_3$  alkoxy, halo,

$C_1-C_3$  alkylthio, trifluoromethyl,  $C_2-C_6$  dialkylamino, hydroxy or nitro,

$-NHC(O)C(CH_3)=CHCH_3$ ,  $-NHC(O)OC(CH_3)_3$ ,  $-NHC(O)OCH_2phenyl$ ,  $-NH_2$ ,  $-NHSO_2-4\text{-}$

$methylphenyl$ ,  $-NHC(O)(CH_2)_3COOH$ ,  $-NHC(O)-4-(SO_3H)phenyl$ ,  $-OH$ ,  $-NHC(O)-1\text{-adamantyl}$ ,

$-NHC(O)O-3\text{-tetrahydrofuranyl}$ ,  $-NHC(O)O-4\text{-tetrahydropyranyl}$ ,  $-NHC(O)CH_2C(CH_3)_3$ ,

$-NHC(O)C(CH_3)_3$ ,  $-NHC(O)OC_1-C_{10}$  alkyl,  $-NHC(O)NHC_1-C_{10}$  alkyl,  $-NHC(O)NHPh$ ,

-NHC(O)NHPH substituted with one, 2 or 3 C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, halo, C<sub>1</sub>-C<sub>3</sub> alkylthio, trifluoromethyl, C<sub>2</sub>-C<sub>6</sub> dialkylamino, or nitro, -NHC(O)C<sub>3</sub>-C<sub>8</sub> cycloalkyl, -NHC(O)C(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>CH<sub>3</sub>, -NHC(O)C(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>C1, -NHC(O)C(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, phthalimido, -NHC(O)-1-phenyl-1-cyclopentyl, -NHC(O)-1-methyl-1-cyclohexyl, -NHC(S)NHC(CH<sub>3</sub>)<sub>3</sub>, and -NHC(O)NHC(CH<sub>3</sub>)<sub>3</sub>;

R<sub>3</sub> is selected from the group consisting of -H, NHC(O)phenyl and -NHC(O)OC(CH<sub>3</sub>)<sub>3</sub>, with the overall proviso that one or R<sub>2</sub> and R<sub>3</sub> is -H but R<sub>2</sub> and R<sub>3</sub> are not both -H;

R<sub>4</sub> is -H or selected from the group consisting of -OH, -OAc(-OC(O)CH<sub>3</sub>), -OC(O)OCH<sub>2</sub>C(C1)<sub>3</sub>, -OCOCH<sub>2</sub>CH<sub>2</sub>NH<sub>3</sub><sup>+</sup> HCOO<sup>-</sup>; -NHC(O)phenyl, -NHC(O)OC(CH<sub>3</sub>)<sub>3</sub>, -OCOCH<sub>2</sub>-CH<sub>2</sub>COOH and pharmaceutically acceptable salts thereof, -OCO(CH<sub>2</sub>)<sub>3</sub>COOH and pharmaceutically acceptable salts thereof and -OC(O)-Z-C(O)-R {where Z is ethylene (-CH<sub>2</sub>CH<sub>2</sub>-), propylene (-CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-), -CH=CH-, 1,2-cyclohexane or 1,2-phenylene, R' is -OH, -OH base, -NR<sub>2</sub>'R<sub>3</sub>', -OR<sub>3</sub>', -SR<sub>3</sub>', -OCH<sub>2</sub>C(O)NR<sub>4</sub>' R<sub>5</sub>' where R<sub>2</sub>' is -H or -CH<sub>3</sub>, R<sub>3</sub>', R<sub>3</sub>' is (CH<sub>2</sub>)<sub>n</sub>NR<sub>6</sub>'R<sub>7</sub>' or (CH<sub>2</sub>)<sub>n</sub>N<sup>+</sup>R<sub>6</sub>'R<sub>7</sub>'R<sub>8</sub>'X- where n is 1-3, R<sub>4</sub>' is -H or C<sub>1</sub>-C<sub>4</sub> alkyl, R<sub>5</sub>' is -H, -C<sub>1</sub>-C<sub>4</sub> alkyl, benzyl, hydroxethyl, -CH<sub>2</sub>CO<sub>2</sub>H is dimethylaminoethyl, R<sub>6</sub>' and R<sub>7</sub>' are CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>3</sub>, benzyl or R<sub>6</sub>' and R<sub>7</sub>' together with the nitrogen of NR<sub>6</sub>'R<sub>7</sub>' form a pyrrolidino, piperidino, morpholino, or N-methylpiperizino group; R<sub>8</sub>' is -CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>3</sub> or benzyl, X' is halide, and base is NH<sub>3</sub>, (HOC<sub>2</sub>H<sub>4</sub>)<sub>3</sub>N, N(CH<sub>3</sub>)<sub>3</sub>, CH<sub>3</sub>N(C<sub>2</sub>H<sub>4</sub>)<sub>2</sub>NH, NH<sub>2</sub>(CH<sub>2</sub>)<sub>6</sub>NH<sub>2</sub>, N-methylglucamine, NaOH or KOH}, -OC(O)(CH<sub>2</sub>)<sub>n</sub>NR<sup>2</sup>R<sup>3</sup> {where n is 1-3, R<sup>2</sup> is -H or -C<sub>1</sub>-C<sub>3</sub> alkyl and or R<sup>3</sup> is -H or C<sub>1</sub>-C<sub>3</sub> alkyl, -OC(O)CH(R''NH<sub>2</sub> {where R'' is selected from the group consisting of -H, -CH<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>, -CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub> phenyl, -(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>COOH, -(CH<sub>2</sub>)<sub>3</sub>NHC(=NH)NH<sub>2</sub>}, the residue of the amino acid proline, -OC(O)CH=CH<sub>2</sub>, -C(O)CH<sub>2</sub>CH<sub>2</sub>C(O)NHCH<sub>2</sub>CH<sub>2</sub>SO<sub>3</sub>Y<sup>+</sup>, -OC(O)CH<sub>2</sub>CH<sub>2</sub>C(O)NHCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>SO<sub>3</sub>Y<sup>+</sup> wherein Y<sup>+</sup> is Na<sup>+</sup> or N<sup>+</sup>(Bu)<sub>4</sub>, and -OC(O)CH<sub>2</sub>CH<sub>2</sub>C(O)OCH<sub>2</sub>CH<sub>2</sub>OH;

R<sub>5</sub> is -H or -OH, with the overall proviso that when R<sub>5</sub> is -OH, R<sub>4</sub> is -H and with the further proviso that when R<sub>5</sub> is -H, R<sub>4</sub> is other than H;

R<sub>6</sub> is -H:-H;

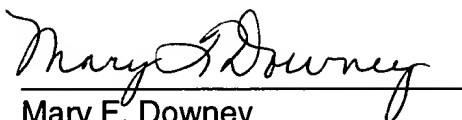
R<sub>7</sub> is α-H:β-R<sub>74</sub>;

R<sub>74</sub> and R<sub>8</sub> are taken together to form a cyclopropyl ring; and

R<sub>10</sub> is -H or -C(O)CH<sub>3</sub>; or

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the pharmaceutically acceptable salt thereof when the compound contains either an acidic or basic functional group.

  
Mary F. Downey  
Administrative Patent Judge  
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